## CLAIMS

Formula (I) pharmaceutically 1. Α compound of and acceptable salts thereof:

5 Formula (I)

alkylcarbamoyl

group,

а

carbamoyloxy

group,

, wherein: Ar is a nitrogen-containing heteroaromatic ring group selected from a set of groups consisting of a pyridyl pyrimidinyl group, а pyradinyl group, group, а pyridazinyl group, a thiazolyl group, an isothiazolyl group, an oxazolyl group, an isoxazolyl group, a pyrazolyl group, a pyrrolyl group, an imidazolyl group, an indolyl group, an isoindolyl group, a quinolyl group, an isoquinolyl group, a benzothiazolyl group, and a benzoxazolyl group, which:

1) may be substituted with one to three of the same or 15 different substituent(s) selected from a set of groups consisting of a lower alkyl group, a hydroxyl group, a cyano group, halogen atoms, a nitro group, a carboxyl group, a carbamoyl group, a formyl group, a lower alkanoyl group, 20 a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower alkoxycarbonylamino group, lower alkoxycarbonylamino a 25 lower alkyl group, a lower alkylcarbamoyl group, a di-lower lower

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alkylcarbamoyloxy group, a di-lower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a group, di-lower alkylamino lower alkyl tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl group, a lower alkylsulfonyl group, a lower alkylsulfonylamino group, a hydroxyimino group and a lower alkoxyimino group, or groups represented by a formula  $Y_1-W_1-Y_2-R_p$  (wherein:  $R_p$  is any of a hydrogen atom, or a lower alkyl group, a lower alkenyl group or a lower alkynyl group which may be substituted with one to three of said substituent(s), or a cyclo lower alkyl group, an aryl group, a heteroaromatic ring group selected from a set of groups consisting of an imidazolyl group, isoxazolyl group, an isoquinolyl group, an isoindolyl group, an indazolyl group, an indolyl group, an indolizinyl group, an isothiazolyl group, an ethylenedioxyphenyl group, an oxazolyl group, a pyridyl group, a pyradinyl group, a pyrimidinyl group, a pyridazinyl group, a pyrazolyl group, a quinoxalinyl group, a quinolyl group, a dihydroisoindolyl group, a dihydroindolyl group, a thionaphthenyl group, a naphthyridinyl group, a phenazinyl group, a benzoimidazolyl group, a benzoxazolyl group, a benzothiazolyl group, a benzotriazolyl group, a benzofuranyl group, a thiazolyl group, a thiadiazolyl group, a thienyl group, a pyrrolyl group, a furyl group, a furazanyl group, a triazolyl group, a benzodioxanyl group and a methylenedioxyphenyl group, or

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an aliphatic heterocyclic group selected from a set of groups consisting of an isoxazolinyl group, an isoxazolidinyl group, a tetrahydropyridyl group, an imidazolidinyl group, tetrahydrofuranyl а group, а tetrahydropyranyl group, a piperazinyl group, a piperidinyl group, a pyrrolidinyl group, pyrrolinyl group, a morpholino tetrahydroquinolinyl group and group, а a tetrahydroisoguinolinyl group, each of which cyclic group may be substituted with one to three of said substituent(s) or, furthermore, may have a bicyclic or tricyclic fused ring of a partial structure selected from a set of groups consisting of:

$$\bigcirc$$
  $\stackrel{\mathsf{N}}{\bigcirc}$  and  $\stackrel{\mathsf{O}}{\bigcirc}$ 

;  $W_1$  is a single bond, an oxygen atom, a sulfur atom, SO, 15 SO<sub>2</sub>,  $NR_{q}$ ,  $SO_{2}NR_{q}$ ,  $N(R_{q})SO_{2}NR_{r}$ ,  $N(R_{\alpha})SO_2$ ,  $CH(OR_{\alpha})$ ,  $N(R_{\alpha})CO$ ,  $N(R_{\alpha})CONR_{r}$ ,  $N(R_{\alpha})COO$ ,  $N(R_{\alpha})CSO$ ,  $N(R_{\alpha})COS$ ,  $C(R_{\alpha})=CR_{r}$ ,  $C \equiv C$ , CO, CS, OC(O),  $OC(O)NR_{\alpha}$ ,  $OC(S)NR_{\alpha}$ , SC(O),  $SC(O)NR_{\alpha}$  and C(0)0 (wherein:  $R_q$  and  $R_r$  are each either a substituent 20 selected from a set of groups consisting of (i) a hydrogen atom, (ii) a substituent selected from a set of groups consisting of a lower alkyl group, a cyclo lower alkyl group, a hydroxyl group, a cyano group, halogen atoms, a nitro group, a carboxyl group, a carbamoyl group, a formyl 25 group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, a lower alkoxy group, a lower

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alkoxycarbonyl group, a lower alkoxycarbonylamino group, a alkoxycarbonylamino lower alkyl group, alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, a lower alkylcarbamoyloxy group, dilower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl alkylsulfonyl lower group, a lower group, а alkylsulfonylamino group, a hydroxyimino group and a lower alkoxyimino group, or (iii) a lower alkyl group, an aryl group or an aralkyl group which may be substituted with one to three of said substituent(s).);  $Y_1$  and  $Y_2$  are each, the same or different, a single bond or a straight-chain or branched lower alkylene group which may have any of said bicyclic or tricyclic fused ring);

20 2) may have a five- to seven-membered fused ring selected from a set of groups consisting of:

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$
and 
$$\bigcirc$$

which may be formed together with the carbon atom of said nitrogen-containing heteroaromatic cyclic group, on which the substituent, which is selected from a set of groups

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consisting of a lower alkyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, alkylcarbamoyloxy group, di-lower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, а tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkylsulfinyl group, a lower alkylsulfonyl group, a lower alkylsulfonylamino group, and a lower alkanoylamidino lower alkyl group (hereinafter indicated as ring-substituent) stands, the carbon atom next to said carbon atom, and a carbon atom, an oxygen atom and/or a nitrogen atom on said ring-substituent; or,

3) may have a five- to seven-membered ring selected from a set of groups consisting of:

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

25 which may be formed together with the carbon atom of said

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nitrogen-containing heteroaromatic group on which a substituent represented by the formula  $Y_1-W_1-Y_2-R_p$  (wherein:  $Y_1$ ,  $W_1$ ,  $Y_2$  and  $R_p$  have the same meanings as stated above) stands, the carbon atom next to said carbon atom, and a carbon atom, an oxygen atom and/or a nitrogen atom on said ring-substituent.

; X and Z are each, the same or different, a carbon atom or a nitrogen atom, or being taken together with R1 or R2 and/or  $R_3$  which may exist on X or Z, form a CH or a nitrogen atom; Y is CO, SO or SO2; R1 is any of a hydrogen atom or a substituent represented by a formula  $Y_3-W_2-Y_4-R_s$ (wherein: R<sub>s</sub> is any of a hydrogen atom or a lower alkyl group, a lower alkenyl group, a lower alkynyl group, a cyclo lower alkyl group, an aryl group, and a heteroaromatic ring group selected from a set of groups consisting of an imidazolyl group, an isoxazolyl group, an isoquinolyl group, an isoindolyl group, an indazolyl group, an indolyl group, an indolizinyl group, an isothiazolyl group, an ethylenedioxyphenyl group, an oxazolyl group, a pyridyl group, a pyradinyl group, a pyrimidinyl group, a pyridazinyl group, a pyrazolyl group, a quinoxalinyl group, quinolyl group, a dihydroisoindolyl group, а dihydroindolyl group, а thionaphthenyl group, а naphthyridinyl group, a phenazinyl group, a benzoimidazolyl group, a benzoxazolyl group, a benzothiazolyl group, a benzotriazolyl group, a benzofuranyl group, a thiazolyl group, a thiadiazolyl group, a thienyl group, a pyrrolyl group, a furyl group, a furazanyl group, a triazolyl group, a benzodioxanyl group and a methylenedioxyphenyl group, or

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an aliphatic heterocyclic group selected from a set of groups consisting of an isoxazolinyl group, isoxazolidinyl group, а tetrahydropyridyl group, an imidazolidinyl group, a tetrahydrofuranyl group, а piperazinyl group, a piperidinyl group, a pyrrolidinyl pyrrolinyl morpholino group, а group, group, tetrahydroquinolinyl group and a tetrahydroisoquinolinyl group, all of which may be substituted with one to three of said substituent(s);  $W_2$  is a single bond, an oxygen atom, a sulfur atom, SO, SO<sub>2</sub>, NR<sub>t</sub>, SO<sub>2</sub>NR<sub>t</sub>, N(R<sub>t</sub>)SO<sub>2</sub>NR<sub>u</sub>, N(R<sub>t</sub>)SO<sub>2</sub>,  $CH(OR_t)$ ,  $CONR_t$ ,  $N(R_t)CO$ ,  $N(R_t)CONR_u$ ,  $N(R_t)COO$ ,  $N(R_t)CSO$ ,  $N(R_t)COS$ ,  $C(R_v)=CR_r$ ,  $C\equiv C$ , CO, CS, OC(O),  $OC(O)NR_t$ ,  $OC(S)NR_t$ , SC(0),  $SC(0)NR_t$  and C(0)O (wherein:  $R_t$  and  $R_u$  are each a hydrogen atom or a substituent selected from a set of groups consisting of a lower alkyl group, a hydroxy group, a cyano group, halogen atoms, a nitro group, a carboxyl group, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, a lower alkoxy group, a lower alkoxycarbonyl group, a lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a di-lower a carbamoyloxy group, alkylcarbamoyl group, lower alkylcarbamoyloxy group, di-lower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a alkylamino а tri-lower di-lower lower alkyl group,

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alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl group, a lower alkylsulfonyl group, a lower alkylsulfonylamino group, a hydroxyimino group and a lower alkoxyimino group, or a lower alkyl group, an aryl group or an aralkyl group which may be substituted with one to three of said substituent(s));  $Y_3$  and  $Y_4$  are each, the same or different, a single bond or a straightchain or branched lower alkylene group), or R<sub>1</sub> is an lower alkyl group which may be substituted with one to three of the same or different substituent(s) selected from a set of groups consisting of a lower alkyl group, a hydroxyl group, a cyano group, halogen atoms, a nitro group, a carboxyl group, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower alkoxycarbonylamino group, а lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, а carbamoyloxy group, alkylcarbamoyloxy group, di-lower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, а alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl group, a lower alkylsulfonyl

group, a lower alkylsulfonylamino group, a hydroxyimino group and a lower alkoxyimino group, or a substituent selected from groups represented by the formula  $Y_3$ - $W_2$ - $Y_4$ - $R_8$  (wherein:  $R_8$ ,  $W_2$ ,  $Y_3$  and  $Y_4$  have the same meanings as stated above), or  $R_1$  forms a nitrogen atom together with X.);  $R_2$  and  $R_3$  are each independently, the same or different, a hydrogen atom, a hydroxy group, a lower alkyl group, a lower alkoxy group, or a substituent represented by the formula  $Y_3$ - $W_2$ - $Y_4$ - $R_8$  ( wherein:  $R_8$ ,  $W_2$ ,  $Y_3$  and  $Y_4$  have the same meanings as stated above), or one of  $R_2$  or  $R_3$  forms, together with  $R_1$  and  $X_1$ , a saturated five- to eight-membered cyclic group selected from sets of groups consisting of (a) and (b):

(a) 
$$\bigcirc$$
 ,  $\bigcirc$  ,  $\bigcirc$  ,  $\bigcirc$  ,  $\bigcirc$  ,  $\bigcirc$  and  $\bigcirc$   $\bigcirc$ 

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(b) 
$$\stackrel{N}{\bigcirc}$$
 ,  $\stackrel{S}{\bigcirc}$  ,  $\stackrel{N}{\bigcirc}$  and  $\stackrel{N^{-N}}{\bigcirc}$ 

and another one of  $R_2$  or  $R_3$  binds to a carbon atom or a nitrogen atom on the ring, or to a carbon atom, an oxygen atom and/or nitrogen atom on said ring-substituent of said ring to form a five- to seven-membered ring, or  $R_2$  and  $R_3$  are combined to form a spiro cyclo lower alkyl group, or  $R_2$  and  $R_3$  are combined, together with Z on which they exist to form an oxo (keto, or carbonyl) group, or  $R_2$  and  $R_3$  form, together with Z,  $R_1$  and X, on which they stand, a saturated or an unsaturated five- to eight membered cyclic group

which may be selected from sets of groups of (a) and (b):

(a) 
$$\bigcirc$$
 ,  $\bigcirc$  and  $\bigcirc$ 

and

(b) 
$$\stackrel{N}{\bigcirc}$$
 ,  $\stackrel{N}{\bigcirc}$  ,  $\stackrel{S}{\bigcirc}$  ,  $\stackrel{S}{\bigcirc}$  ,  $\stackrel{N}{\bigcirc}$ 

, which may contain one or more kinds of hetero atom(s) selected from a group of a nitrogen atom, an oxygen atom and a sulfur atom, and which may be fused with any of a cyclo lower alkyl group, an aryl group, a heteroaromatic ring group selected from a set of groups consisting of an imidazolyl group, an isoxazolyl group, an isoquinolyl group, 10 an isoindolyl group, an indazolyl group, an indolyl group, an isothiazolyl group, indolydinyl group, ethylenedioxyphenyl group, an oxazolyl group, a pyridyl pyradinyl pyrimidinyl group, group, a group, 15 pyridazinyl group, a pyrazolyl group, a quinoxalinyl group, quinolyl group, а dihydroisoindolyl group, а а thionaphthenyl dihydroindolyl group, group, naphthyridinyl group, a phenazinyl group, a benzoimidazolyl group, a benzoxazolyl group, a benzothiazolyl group, a 20 benzotriazolyl group, a benzofuranyl group, a thiazolyl group, a thiadiazolyl group, a thienyl group, a pyrrolyl

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group, a furyl group, a furazanyl group, a triazolyl group, a benzodioxanyl group and a methylenedioxyphenyl group, or an aliphatic heterocyclic group(s) selected from a set of groups consisting of an isoxazolinyl group, an isoxazolidinyl group, a tetrahydropyridyl group, an imidazolidinyl tetrahydrofuranyl group, а group, a tetrahydropyranyl group, a piperazinyl group, a piperidinyl group, a pyrrolidinyl group, pyrrolinyl group, a morpholino tetrahydroquinolinyl group group, а а tetrahydroisoquinolinyl group, which may be with one to three of the same or different substituent(s) selected from a set of groups consisting of a lower alkyl group, a spiro cyclo lower alkyl group which may be substituted, a hydroxyl group, a cyano group, halogen atoms, a nitro group, a carboxyl group, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, a lower alkylcarbamoyloxy group, dilower alkylcarbamoyloxy group, an amino group, alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower

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alkanoylamidino lower alkyl group, a lower alkylsulfinyl group, lower alkylsulfonyl group, alkylsulfonylamino group, a hydroxyimino group and a lower alkoxyimino group, and a substituent selected from groups represented by the formula  $Y_1-W_1-Y_2-R_p$  (wherein:  $R_p$ ,  $W_1$ ,  $Y_1$ and  $Y_2$  have the same meanings as stated above);  $R_4$  and  $R_5$ are each, the same or different, a hydrogen atom, halogen atoms, a hydroxy group, an amino group, or a substituent represented by the formula  $Y_3-W_2-Y_4-R_s$  (wherein:  $R_s$ ,  $W_2$ ,  $Y_3$ and  $Y_4$  have the same meanings as stated above), or any of a lower alkyl group, an aryl group or an aralkyl group which may be substituted with one to three of the same or different substituent(s) selected from both a set of groups consisting of a lower alkyl group, a cyano group, a nitro group, a carboxyl group, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, a lower alkylcarbamoyloxy group, dilower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower

alkanoylamidino lower alkyl group, a lower alkylsulfinyl group, a lower alkylsulfonyl group, a lower alkylsulfonylamino group, a hydroxyimino group and a lower alkoxyimino group, and groups represented by the formula  $Y_3-W_2-Y_4-R_s$  (wherein:  $R_s$ ,  $W_2$ ,  $Y_3$  and  $Y_4$  have the same meanings as stated above); and the formula  $\stackrel{\dots}{}$  represents either a single bond or a double bond.

2. A compound according to claim 1, having a structure of 10 Formula (I-a), and pharmaceutically acceptable salts thereof:

## Formula (I-a)

$$R_{1a}$$
 $R_{2a}$ 
 $R_{3a}$ 
 $R_{3a}$ 
 $R_{4a}$ 
 $R_{5a}$ 
 $R_{5a}$ 
 $R_{4a}$ 
 $R_{5a}$ 
 $R_{5a}$ 

- , wherein: Ar<sub>a</sub> is a nitrogen-containing heteroaromatic ring group selected from a set of groups consisting of a pyridyl group, a pyrimidinyl group, a pyradinyl group, a pyridazinyl group, a thiazolyl group, a pyrazolyl group, and an imidazolyl group, and said nitrogen-containing heteroaromatic ring group
- 20 1') may be substituted with one to three of the same or different substituent(s) selected from a set of groups consisting of a lower alkyl group, a hydroxyl group, halogen atoms, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a balo lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower

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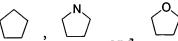
alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a lower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkylsulfonylamino group, or groups represented by a formula Y<sub>1a</sub>-W<sub>1a</sub>-Y<sub>2a</sub>-R<sub>pa</sub> (wherein: R<sub>pa</sub> is any of a hydrogen atom or a lower alkyl group, a lower alkenyl group or a lower alkynyl group which may be substituted with one to three of said substituent(s), or a cyclo lower alkyl group, an aryl group, a heteroaromatic ring group selected from a set of groups consisting of an imidazolyl group, an isoxazolyl group, an isoquinolyl group, an indolyl group, an ethylenedioxyphenyl group, a pyridyl group, a pyrimidinyl group, a pyridazinyl group, pyrazolyl group, a quinolyl group, a benzoimidazolyl group, a thiazolyl group, a thienyl group, and a triazolyl group, or an aliphatic heterocyclic group(s) selected from a set consisting of isoxazolinyl of groups an group, an isoxazolidinyl group, a tetrahydropyridyl group, а tetrahydrofuranyl group, a tetrahydropyranyl group, а piperazinyl group, a piperidinyl group, a pyrrolidinyl group, a morpholino group, and a tetrahydroisoquinolinyl group, any of which cyclic groups may be substituted with one to three of said substituents, or, furthermore, may have a bicyclic or tricyclic fused ring which contains a partial structure selected from a set of groups consisting of:

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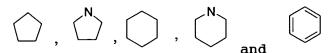
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and

;  $W_{1a}$  is an oxygen atom, a sulfur atom,  $NR_{qa}$ ,  $SO_2NR_{qa}$ ,  $N(R_{qa})SO_2$ ,  $CONR_{qa}$ ,  $N(R_{qa})CO$ ,  $N(R_{qa})COO$ ,  $C(R_{qa})=CR_{ra}$ ,  $OC(O)NR_{ga}$ , or C(O)O (wherein:  $R_{ga}$  and  $R_{ra}$  are each, a hydrogen atom or a substituent selected from a set of groups consisting of a lower alkyl group, a cyclo lower alkyl group, a hydroxyl group, halogen atoms, a formyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a halo lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, a alkoxycarbonylamino group, а lower lower alkyl alkoxycarbonylamino lower group, а lower alkylcarbamoyl group, a lower alkylcarbamoyloxy group, an amino group, lower alkylamino group, di-lower an amino lower alkyl group, alkylamino group, alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a lower alkanoylamino group, an aroylamino group, and a lower alkylsulfonylamino group, or a lower alkyl group, an aryl group or an aralkyl group which may be substituted with one to three of said substituent(s)); Y1a and  $Y_{2a}$  are each, the same or different, a single bond or a straight-chain or branched lower alkylene group which may have a bicyclic or tricyclic fused ring.);

2') may form a five- to six-membered ring selected from a set of groups consisting of:



together with a carbon atom on said nitrogen-containing

heteroaromatic ring group, on which a substituent selected from a set of groups consisting of a lower alkyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a halo lower alkyl group, a carbamoyl lower alkyl group, a lower alkoxy group, a lower alkoxycarbonyl group, a lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a lower alkylcarbamoyloxy group, a lower alkylamino group, di-lower alkylamino group, an amino lower alkyl group, a lower alkylamino lower alkyl group, di-lower alkylamino lower alkyl group, a lower alkanoylamino group, and an aroylamino group exists, the carbon atom next to said carbon atom, and a carbon atom, an oxygen atom and/or a nitrogen atom, each of which exists in said ring-substituent(s);

15 or,

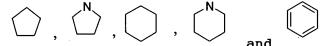
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3') may form a five- to six-membered ring selected from a set of groups consisting of:



together with a carbon atom on said nitrogen-containing heteroaromatic ring group, on which а substituent represented by the formula  $Y_{1a}-W_{1a}-Y_{2a}-R_{pa}$  (wherein:  $Y_{1a}$ ,  $W_{1a}$ ,  $Y_{2a}$  and  $R_{pa}$  have the same meanings as stated above) stands, the carbon atom next to said carbon atom, and a carbon atom, an oxygen atom and/or a nitrogen atom in said ringsubstituent(s);  $X_a$  and  $Z_a$  are each, the same or different, a carbon atom or a nitrogen atom, or optionally being taken together with  $R_{1a}$  or  $R_{2a}$  and/or  $R_{3a}$  on them form a CH or a nitrogen atom;  $Y_a$  is a CO, SO or  $SO_2$ ;  $R_{1a}$  is a hydrogen atom

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or a substituent represented by a formula  $Y_{3a}-W_{2a}-Y_{4a}-R_{sa}$ (wherein: Rsa is a hydrogen atom or a lower alkyl group, a lower alkenyl group, a cyclo lower alkyl group, an aryl group, or a heteroaromatic ring group selected from a group consisting of an indolyl group, or an aliphatic heterocyclic group selected from а group of а tetrahydropyridyl group, a piperadinyl group, a piperidinyl group, a pyrrolidinyl group and a morpholino group, all of which groups may be substituted with one to three of the same or different said substituent(s);  $W_{2a}$  is a single bond, NR<sub>ta</sub>, CH(OR<sub>ta</sub>), CONR<sub>ta</sub>, N(R<sub>ta</sub>)CO, N(R<sub>ta</sub>)COO, OC(O)NR<sub>ta</sub> or C(0)0 (wherein: Rta is a hydrogen atom, a lower alkyl group, an aryl group or an aralkyl group which may be substituted with one to three of said substituent(s));  $Y_{3a}$ and  $Y_{4a}$  are each, the same or different, a single bond, or a straight-chain or branched lower alkylene group); or R<sub>1</sub> is a lower alkyl group which may be substituted with one to three substituent(s) selected from both a set of groups consisting of a lower alkyl group, a hydroxyl group, a carbamoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a lower alkoxy group, a lower alkoxycarbonyl alkoxycarbonylamino group, lower group, а lower alkoxycarbonylamino lower alkyl a group, lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, a lower alkylcarbamoyloxy group, a lower alkylamino group, a di-lower alkylamino group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a lower alkanoylamino group, and an aroylamino group, and groups represented by the formula  $Y_{3a}$ - $W_{2a}$ - $Y_{4a}$ - $R_{sa}$  (wherein:  $R_{sa}$ ,  $W_{2a}$ ,  $Y_{3a}$  and  $Y_{4a}$  have the same meanings as stated above), or form a nitrogen atom, together with X;  $R_{2a}$  and  $R_{3a}$  are each independently, the same or different, a hydrogen atom, or a substituent of a hydroxy group, a lower alkyl group, a lower alkoxy group, or the one represented by the formula  $Y_{3a}$ - $W_{2a}$ - $Y_{4a}$ - $R_{sa}$  (wherein:  $R_{sa}$ ,  $W_{2a}$ ,  $Y_{3a}$  and  $Y_{4a}$  have the same meanings as stated above), or any one of  $R_{2a}$  or  $R_{3a}$  forms, together with  $R_{1a}$  and  $X_{a}$ , a saturated five- to eightmembered cyclic group selected from a set of groups consisting of (a-1) and (b-1),

$$(a-1)$$
  $N$  ,  $N$  and  $N$ 

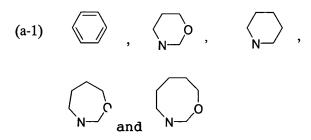
and

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$$(b-1)$$
  $N$  and  $N$ 

and the other one binds to a carbon atom or a nitrogen atom on the ring, or to a carbon atom, an oxygen atom and/or nitrogen atom on said ring-substituent to form a five- to seven-membered ring, or  $R_{2a}$  and  $R_{3a}$  are combined to form a spiro cyclo lower alkyl group, or  $R_{2a}$  and  $R_{3a}$  are combined with Z on which they stand to form an oxo (a keto, or carbonyl) group, or  $R_{2a}$  and  $R_{3a}$  form, together with  $Z_a$  on which they stand,  $R_{1a}$  and  $X_a$ , a saturated or an unsaturated five- to eight membered cyclic group which may be selected from sets of groups of (a-1) and (a-2):



and

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which may have one or more kinds of hetero atom(s), and which may be substituted with one to three of the same or different substituent(s) selected both from a set of groups consisting of a lower alkyl group, a spiro cyclo lower alkyl group which may be substituted, a hydroxy group, a hydroxy lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, a lower alkoxycarbonylamino group, a alkoxycarbonylamino lower alkyl group, lower alkylcarbamoyl group, a lower alkylcarbamoyloxy group, a lower alkylamino group, a di-lower alkylamino group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a lower alkanoylamino group and an aroylamino group, and groups represented by the formula  $Y_{1a}-W_{1a}-Y_{2a}-R_{pa}$  (wherein:  $R_{pa}$ ,  $W_{1a}$ ,  $Y_{1a}$  and  $Y_{2a}$  have the same meanings as stated above), and, furthermore, which may be fused with a cyclo lower alkyl group, an aryl group, a heteroaromatic ring group selected from a group of a pyridyl group and a pyrazolyl group, and an aliphatic heterocyclic group selected from a group of piperidinyl group and a pyrrolidinyl group;  $R_{4a}$  and  $R_{5a}$  are different, a hydrogen atom or a each, the same or

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substituent consisting of halogen atoms, a hydroxy group, an amino group, or the one represented by the formula Y3a- $W_{2a}-Y_{4a}-R_{sa}$  (wherein:  $R_{sa}$ ,  $W_{2a}$ ,  $Y_{3a}$  and  $Y_{4a}$  have the same meanings as stated above), or a lower alkyl group, an aryl group or an aralkyl group, each of which may be substituted with one to three of the same or different substituent(s) selected from both a set of groups consisting of a lower alkyl group, a hydroxy lower alkyl group, a halo lower alkyl group, a lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, alkylcarbamoyl group, a lower alkylamino group, a lower alkylamino lower alkyl group, a lower alkanoylamino group, and an aroylamino group, and groups represented by the formula  $Y_{3a}$ - $W_{2a}$ - $Y_{4a}$ - $R_{sa}$  (wherein:  $R_{sa}$ ,  $W_{2a}$ ,  $Y_{3a}$  and  $Y_{4a}$  have the same meanings as stated above); and the formula --- is a single bond or a double bond.

3. A compound according to claim 1 and 2, having a structure of Formula (I-b) and pharmaceutically acceptable salts thereof,

Formula (I-b)

wherein:  $Ar_b$  is a nitrogen-containing heteroaromatic ring group selected from a set of groups comprising a pyridyl group and a pyrazolyl group, which:

1'') may be substituted with one to three substituent(s)

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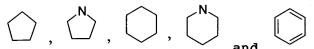
selected from both a set of groups consisting of a hydroxy group, halogen atoms, a lower alkanoyloxy group, a hydroxy alkyl group, a lower alkoxy group, a lower lower alkoxycarbonyl amino a lower group, an group, and alkylamino lower alkyl group, and groups represented by a formula  $Y_{1b}$ - $W_{1b}$ - $Y_{2b}$ - $R_{pb}$  (wherein:  $R_{pb}$  is a hydrogen atom or a lower alkyl group, a lower alkenyl group or a lower alkynyl group which may be substituted with one to three of said substituent(s), or a cyclo lower alkyl group, an aryl group, a heteroaromatic ring group selected from a set of groups consisting of a pyridyl group and a pyrazolyl group, or an aliphatic heterocyclic group selected from a set of groups consisting of isoxazolinyl group, a tetrahydropyridyl group, a piperadinyl group, a piperidinyl group, a pyrrolidinyl group, a morpholino group and a tetrahydroisoquinolinyl group, each of which cyclic substituent groups may be substituted with one to three of said substituent(s) and, furthermore, may have a bicyclic or tricyclic fused ring, which contains the partial structure of which is selected from a group consisting of:

$$\bigcirc$$
 ,  $\bigcirc$  and  $\bigcirc$ 

;  $W_{1b}$  is  $NR_{qb}$ ,  $N(R_{qb})SO_2$ ,  $CONR_{qb}$ ,  $N(R_{qb})CO$ ,  $N(R_{qb})COO$ , OC(O), and C(O)O (wherein:  $R_{qb}$  and  $R_{rb}$  is a hydrogen atom, or a substituent selected from a set of groups consisting of a hydroxy group, halogen atoms, a cyclo lower alkyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a lower alkoxy group, a lower alkoxycarbonyl group, an amino group, and a lower alkylamino lower alkyl group, or a lower

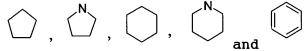
alkyl group, an aryl group or an aralkyl group, which may be substituted with one to three of said substituent(s));  $Y_{1b}$  and  $Y_{2b}$  are each, the same or different, a single bond or a straight-chain or branched lower alkylene group which may have a said bicyclic or tricyclic fused ring);

2'') may have a five- or six-membered ring selected from a group consisting of:



which is together with the ring carbon atom on which a substituent selected from a group consisting of a lower alkanoyloxy group, a hydroxy lower alkyl group, a lower alkoxy group, a lower alkoxycarbonyl group and a lower alkylamino lower alkyl group stands, a carbon atom next to said carbon atom, and a carbon atom, an oxygen atom and/or a nitrogen atom in said ring-substituent; or,

3'') may form a five- or six-membered ring selected from a group consisting of:



which is together with the ring-carbon atom on which a 20 represented formula substituent by the  $Y_{1b} - W_{1b} - Y_{2b} - R_{pb}$ (wherein:  $Y_{1b}$ ,  $W_{1b}$ ,  $Y_{2b}$  and  $R_{pb}$  have the same meanings as stated above) stands, a carbon atom next to said carbon atom, and a carbon atom, an oxygen atom and/or a nitrogen atom in said ring-substituent;  $X_b$  and  $Z_b$  are each, the same 25 or different, a carbon atom or a nitrogen atom, or  $X_{\mbox{\scriptsize b}}$  and  $\mathtt{Z}_\mathtt{b}$  form a CH or a nitrogen atom, being taken together with  $R_{1b}$  or  $R_{2b}$  and/or  $R_{3b}$  on them;  $Y_b$  is a CO, SO or  $SO_2$ ;  $R_{1b}$  is a

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hydrogen atom or a substituent represented by a formula Y<sub>3b</sub>-W<sub>2b</sub>-Y<sub>4b</sub>-R<sub>sb</sub> (wherein: R<sub>sb</sub> is a hydrogen atom or a lower alkyl group, a cyclo lower alkyl group, and an aryl group, which may be substituted with one to three of said substituent(s);  $W_{2b}$  is a single bond,  $N(R_{tb})COO$  or C(O)O(wherein: Rtb is a hydrogen atom or a lower alkyl group, an aryl group or an aralkyl group which may be substituted with one to three of said substituent(s));  $Y_{3b}$  and  $Y_{4b}$  are each, the same or different, a single bond, or a straightchain or branched lower alkylene group), or a lower alkyl group which may be substituted with one to three of the same or different substituent(s) selected from a set of groups consisting of a hydroxy lower alkyl group and the one represented by the formula  $Y_{3b}-W_{2b}-Y_{4b}-R_{sb}$  (wherein:  $R_{sb}$ ,  $W_{2b}$ ,  $Y_{3b}$  and  $Y_{4b}$  have the same meanings as stated above), or forms a nitrogen atom, together with X;  $R_{2b}$  and  $R_{3b}$  are each independently, the same or different, a hydrogen atom, a hydroxy group, a lower alkyl group, a lower alkoxy group, or a substituent represented by the formula  $Y_{3b}-W_{2b}-Y_{4b}-R_{sb}$ (wherein:  $R_{\rm sb}$ ,  $W_{\rm 2b}$ ,  $Y_{\rm 3b}$  and  $Y_{\rm 4b}$  have the same meanings as stated above), or either  $R_{2b}$  or  $R_{3b}$  forms, together with  $R_{1b}$ and  $X_b$ , a saturated five- to eight-membered cyclic group selected from sets of groups of (b-1) and (b-2),

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$$(b-2)$$
  $N$  and  $N$ 

and the other one binds to a carbon atom or a nitrogen atom

on the ring, or to a carbon atom, an oxygen atom and/or nitrogen atom on said ring-substituent to form a five- to seven-membered ring, or  $R_{2b}$  and  $R_{3b}$  are combined to form a spiro cyclo lower alkyl group, or they  $(R_{2b}$  and  $R_{3b})$  are combined furthermore with Z on which they stand to form an oxo (a keto, or carbonyl) group, or they  $(R_{2b}$  and  $R_{3b})$  form, together with  $Z_b$  on which they stand,  $R_{1b}$  and  $X_b$ , a saturated or an unsaturated five- to seven-membered cyclic group which may be selected from sets of groups of (b-1) and (b-2):

(b-1) 
$$N$$
 and  $N$ 

and

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(b-2) 
$$\stackrel{\mathsf{N}}{\bigcirc}$$
 ,  $\stackrel{\mathsf{N}}{\bigcirc}$  ,  $\stackrel{\mathsf{S}}{\bigcirc}$ 

which may either have one or more kinds of hetero atom(s) selected from a group of a nitrogen atom, an oxygen atom and a sulfur atom, or which may be fused with a cyclo lower alkyl group, an aryl group and an aliphatic heterocyclic group selected from a group of a piperidinyl group and a pyrrolidinyl group, all of which cyclic groups may be substituted with one to three of the same or different substituent(s) selected both from а set of consisting of a lower alkyl group, a spiro cyclo lower alkyl group which may be substituted, a hydroxy lower alkyl group and lower alkoxycarbonyl group, and represented by the formula  $Y_{1b}-W_{1b}-Y_{2b}-R_{pb}$  (wherein:  $R_{pb}$ ,  $W_{1b}$ ,  $Y_{1b}$  and  $Y_{2b}$  have the same meanings as stated above);

 $R_{4b}$  and  $R_{5b}$  are each independently, the same or different,

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or a lower alkyl group, an aryl group or an aralkyl group which may be substituted with one to three of the same or different substituent(s) selected from a set of groups consisting of substituents comprising any of a hydrogen atom, halogen atoms or a substituent represented by the formula  $Y_{3b}$ - $W_{2b}$ - $Y_{4b}$ - $R_{sb}$  (wherein:  $R_{sb}$ ,  $W_{2b}$ ,  $Y_{3b}$  and  $Y_{4b}$  have the same meanings as stated above), or a substituent selected from a set of groups consisting of a lower alkyl group, a hydroxy lower alkyl group, a halo lower alkyl group, a lower alkoxycarbonylamino group, а lower alkoxycarbonylamino lower alkyl group, а lower alkylcarbamoyl group, a lower alkylamino group, a lower alkylamino lower alkyl group, a lower alkanoylamino group, and an aroylamino group,; and the formula --- means a single bond or a double bond.

4. A compound according to any one of claim 1 to claim 3, having a structure of Formula (I-p) and pharmaceutically acceptable salts thereof,

20 Formula (I-p)

wherein:  $Ar_p$  is a nitrogen-containing heteroaromatic ring group which may be substituted,  $X_p$  is a carbon atom (CH) or a nitrogen atom,  $R_{1p}$  is a hydrogen atom or a lower alkyl group which may be substituted,  $R_{2p}$  is a hydrogen or an oxo group (which forms carbonyl group, together with the carbon

atom on which it stands), or forms, together with the carbon atom on which it stands,  $R_{1p}$  and  $X_p$ , a saturated or an unsaturated five- or six-membered cyclic group which may have one or more kinds of hetero atom(s) selected from a group of a nitrogen atom and a sulfur atom or which may be substituted;  $R_{4p}$  and  $R_{5p}$  are each, the same of different, any of a hydrogen atom, halogen atoms, a hydroxy group, an amino group or a lower alkyl group, an aryl group or an aralkyl group which may be substituted.

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- 5. A compound according to claim 1, wherein the compound is N'-(pyrrolidino[2,1-b]isoindolin-4-on-8-y1)-N-(5-(2-octylaminomethyl)pyrazol-3-yl)urea, N'-(pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(5-(2-methyl-4,4-
- dimethylpentylaminomethyl)pyrazol-3-yl)urea, N' (pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(5-(5 methoxyindan-2-ylaminomethyl)pyrazol-3-yl)urea,
   N'-(pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(5-(2 methylindan-2-ylaminomethyl)pyrazol-3-yl)urea, N'-
- 20 (pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(5-(5chloroindan-2-ylaminomethyl)pyrazol-3-yl)urea, N'(pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(5-(6methylpyridin-2-yl)pyrazol-3-yl)urea, N'-(pyrrolidino[2,1b]isoindolin-4-on-8-yl)-N-(5-(pyrrolidin-2-yl)pyrazol-3-
- y1)urea, N'-(pyrrolidino[2,1-b]isoindolin-4-on-8-y1)-N-(5(t-butylaminomethyl)pyrazol-3-yl)urea, N'-(pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(5-(pyrazolo[5,4-b]pyridin-3yl)urea, N'-(pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(5(1-hydroxymethylcyclopentylaminomethyl)pyrazol-3-yl)urea,

N'-(pyrrolidino[2,1-b]-4-oxoisoindolin-8-yl)-N-(5-(N-tbutyl-N-methyl-aminomethyl)pyrazol-3-yl)urea, N'-(pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(4-(N-benzyl-1,2,5,6-tetrahydropyridin-4-yl)pyridin-2-yl)urea, N'-5 (pyrrolidino[2,1-b]isoindolin-4-on-8-y1)-N-(4-(N-benzyl-4piperidyl)pyridin-2-yl)urea, N'-(pyrrolidino[2,1b] isoindolin-4-on-8-yl)-N-(4-(N-benzyl-1,2,5,6-N'tetrahydropyridin-3-yl)pyridin-2-yl)urea, (pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(4-(N-benzyl-3-10 piperidyl)pyridin-2-yl)urea, N'-(pyrrolidino[2,1-b]-4oxoisoindolin-8-yl)-N-(4-(1,2,5,6-tetrahydropyridin-3-N'-(pyrrolidino[2,1-b]isoindolin-4yl)pyridin-2-yl)urea, on-8-yl)-N-(4-(N-acetyl-3-piperidyl)pyridin-2-yl)urea, (pyrrolidino[2,1-b]isoindolin-4-on-8-y1)-N-(piperidino[3,4-15 c]pyridin-5-yl)urea, N'-(pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(pyrrolidino[3,4-c]pyridin-5-yl)urea, N'-(pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(4-N'-(cyclohexylaminoethyl)pyridin-2-yl)urea, (pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(4-(N-20 cyclohexylpyrrolidin-3-yl)pyridin-2-yl)urea (compound 180), N'-(pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(4-(Nbenzylpyrrolidin-3-yl)pyridin-2-yl)urea, N'-(N-cyclopentyl-3-methylisoindolin-1-on-4-yl)-N-(pyridin-2-yl)urea, t-butylisoindolino[3,2-b]oxazolidin-4-on-8-yl)-N-(4-(N-25 N'-(2benzylpyrrolidin-3-yl)pyridin-2-yl)urea, methylisoindolino[3,2-b]perhydro-1,3-oxazin-5-on-9-yl)-N-(4-(N-benzylpyrrolidin-3-yl)pyridin-2-yl)urea, N'-(isoindolino[2,3-b]perhydro-1,4-methano-6,11a-benzoxazin-

11-on-7-yl)-N-(pyridin-2-yl)urea.

6. A compound according to claim 1, wherein the compound is N'-(pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(5-(2octylaminomethyl)pyrazol-3-yl)urea, N'-(pyrrolidino[2,1-5 b]isoindolin-4-on-8-yl)-N-(5-(2-methyl-4,4dimethylpentylaminomethyl)pyrazol-3-yl)urea, N'-(pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(5-(5methoxyindan-2-ylaminomethyl)pyrazol-3-yl)urea, N'-(pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(5-(2-10 methylindan-2-ylaminomethyl)pyrazol-3-yl)urea, N'-(pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(5-(5chloroindan-2-ylaminomethyl)pyrazol-3-yl)urea, N'-(pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(4-(N-benzyl-1,2,5,6-tetrahydropyridin-4-yl)pyridin-2-yl)urea, N'-15 (pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(4-(N-benzyl-4piperidyl)pyridin-2-yl)urea, N'-(pyrrolidino[2,1b]isoindolin-4-on-8-yl)-N-(piperidino[3,4-c]pyridin-6yl)urea, N'-(pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(4-(N-cyclohexylpyrrolidin-3-yl)pyridin-2-yl)urea, N'-20 (pyrrolidino[2,1-b]isoindolin-4-on-8-yl)-N-(4-(Nbenzylpyrrolidin-3-yl)pyridin-2-yl)urea, N'-(3-t-

butylisoindolino[3,2-b]oxazolidin-4-on-8-yl)-N-(4-(N-

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benzylpyrrolidin-3-yl)pyridin-2-yl)urea, N'-(2-

methylisoindolino[3,2-b]perhydro-1,3-oxazin-5-on-9-yl)-N-

(4-(N-benzylpyrrolidin-3-yl)pyridin-2-yl)urea, or N'-

(isoindolino[2,3-b]perhydro-1,4-methano-6,11a-benzoxazin-

5 11-on-7-yl)-N-(pyridin-2-yl)urea.

7. A method of manufacturing a compouns of Formula (I) and pharmaceutically acceptable salts thereof characterized by reacting compounds of Formula (III) with a compound of Formula (IV):

Formula (III)

$$\begin{array}{c} R_{10} \quad R_{20} \\ X = Z \quad R_{30} \\ \hline \\ R_{40} \quad R_{50} \end{array} \text{ NH}_2 \quad \text{(III)}$$

wherein: X and Z are each, the same or different, a carbon atom or a nitrogen atom, or a CH or a nitrogen atom, together with  $R_{10}$  or  $R_{20}$  and/or  $R_{30}$  which bind to X or Z; Y is a CO, SO or  $SO_2$ ;  $R_{10}$  is a hydrogen atom or a substituent represented by a formula  $Y_{30}\text{-W}_{20}\text{-Y}_{40}\text{-R}_{s0}$  (wherein:  $R_{s0}$  is a hydrogen atom or a lower alkyl group, a lower alkenyl group, a lower alkynyl group, a cyclo lower alkyl group, an aryl group, a heteroaromatic ring group selected from a set of groups consisting of an imidazolyl group, an isoxazolyl group, an isoquinolyl group, an isoindolyl group, an indolydinyl group, an indolydinyl group, an indolydinyl group, an

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ethylenedioxyphenyl group, isothiazolyl group, an oxazolyl group, a pyridyl group, a pyradinyl group, a pyrimidinyl group, a pyridazinyl group, a pyrazolyl group, a quinoxalinyl group, a quinolyl group, a dihydroisoindolyl group, a dihydroindolyl group, a thionaphthenyl group, a naphthyridinyl group, a phenazinyl group, a benzoimidazolyl group, a benzoxazolyl group, a benzothiazolyl group, a benzotriazolyl group, a benzofuranyl group, a thiazolyl group, a thiadiazolyl group, a thienyl group, a pyrrolyl group, a furyl group, a furazanyl group, a triazolyl group, a benzodioxanyl group and a methylenedioxyphenyl group, or an aliphatic heterocyclic group(s) selected from a set of groups consisting ofan isoxazolinyl group, an isoxazolidinyl group, a tetrahydropyridyl group, an imidazolidinyl group, а tetrahydrofuranyl group, а piperazinyl group, a piperidinyl group, a pyrrolidinyl a morpholino group, pyrrolinyl group, group, tetrahydroquinolinyl group and a tetrahydroisoquinolinyl group which may be substituted with one to three of said substituents;  $W_{20}$  is a single bond, an oxygen atom, a sulfur atom, SO, SO<sub>2</sub>,  $NR_{t0}$ ,  $SO_2NR_{t0}$ ,  $N(R_{t0})SO_2NR_{u0}$ ,  $N(R_{t0})SO_2$ ,  $CH(OR_{t0})$ ,  $CONR_{t0}$ ,  $N(R_{t0})CO$ ,  $N(R_{t0})CONR_{u0}$ ,  $N(R_{t0})COO$ ,  $N(R_{t0})CSO$ ,  $C(R_{v0}) = CR_{r0}$ ,  $C \equiv C$ , CO, CS, OC(O),  $OC(O)NR_{t0}$ ,  $N(R_{to})COS$ .  $OC(S)NR_{t0}$ , SC(O),  $SC(O)NR_{t0}$  and C(O)O (wherein:  $R_{t0}$ and  $R_{u0}$ are each a hydrogen atom or a lower alkyl group, an aryl group or an aralkyl group which may be substituted with one to three of substituent(s) selected from a set of groups consisting of a lower alkyl group, a hydroxyl group which may be protected, a cyano group, halogen atoms, a nitro

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group, a carboxyl group which may be protected, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group which may be protected, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group which may be protected, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a 10 carbamoyloxy group, a lower alkylcarbamoyloxy group, dilower alkylcarbamoyloxy group, an amino group which may be protected, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group which may be protected, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkyl group, lower alkanoylamino group, an aroylamino group, а lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl group, lower alkylsulfonyl group, lower alkylsulfonylamino group, a hydroxyimino group which may be alkoxyimino protected, and lower group, substituent(s));  $Y_{30}$  and  $Y_{40}$  are each, the same or different, a single bond or a straight-chain or branched alkylene group); or a lower alkyl group which may be substituted with one to three of substituent(s) selected from a set of groups consisting of a lower alkyl group, a hydroxyl group which may be protected, a cyano group, halogen atoms, a nitro group, a carboxyl group which may be protected, a carbamoyl group, a formyl group, a lower

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alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group which may be protected, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group which may be protected, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, alkylcarbamoyloxy group, di-lower alkylcarbamoyloxy group, an amino group which may be protected, a lower alkylamino di-lower alkylamino group, group, alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl а lower alkylsulfonyl group, lower group, alkylsulfonylamino group, a hydroxyimino group which may be protected, and a lower alkoxyimino group, or a (set of substituents) substituent represented by the formula  $Y_{30}$ - $W_{20}\text{-}Y_{40}\text{-}R_{s0}$  (wherein:  $R_{s0}\text{,}$   $W_{20}\text{,}$   $Y_{30}$  and  $Y_{40}$  have the same meanings as stated above), or  $R_{10}$  forms a nitrogen atom, together with X;  $R_{20}$  and  $R_{30}$  are each independently, the same or different, any of a hydrogen atom, a hydroxy group which may be protected, a lower alkyl group, a lower alkoxy group, or a substituent represented by the formula  $Y_{30}-W_{20}$ - $Y_{40}-R_{s0}$  (wherein:  $R_{s0}$ ,  $W_{20}$ ,  $Y_{30}$  and  $Y_{40}$  have the same meanings as stated above), or either one of  $R_{20}$  and  $R_{30}$  forms, together with  $R_{10}$  and X, a saturated five- to eightþ.

membered cyclic group selected from a sets of groups consisting of (a) and (b):

(a) 
$$\bigcirc$$
 ,  $\bigcirc$  ,  $\bigcirc$  ,  $\bigcirc$  ,  $\bigcirc$  ,  $\bigcirc$  and  $\bigcirc$ 

5 and

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(b) 
$$\stackrel{N}{\bigcirc}$$
 ,  $\stackrel{S}{\bigcirc}$  ,  $\stackrel{N}{\bigcirc}$  and

and the other one binds either to a carbon atom or a nitrogen atom on the ring, or to a carbon atom, an oxygen atom and/or a nitrogen atom on the ring-substituent(s) on said ring, to form a five- to seven-membered ring, or  $R_{20}$  and  $R_{30}$  are combined to form a spiro cyclo alkyl group, or to form, together with Z, on which they stand, an oxo (keto, carbonyl) group, or, to form, together with Z on which they stand,  $R_{10}$  and X, a heteroaromatic ring consisting of a saturated or an unsaturated five- to eight-membered cyclic ring selected from sets of groups consisting of (a) and (b)

(a) 
$$\bigcirc$$
 ,  $\bigcirc$  ,

and

(b) 
$$\stackrel{N}{\longrightarrow}$$
 ,  $\stackrel{N}{\longrightarrow}$  ,  $\stackrel{S}{\longrightarrow}$  ,  $\stackrel{N}{\longrightarrow}$  ,  $\stackrel{N}{\longrightarrow}$  and  $\stackrel{N}{\longrightarrow}$ 

,which may either contain one or more kinds of hetero atoms selected from the group consisting of a nitrogen atom, an oxygen atom and a sulfur atom, or which may be fused with a 5 ring selected from a cyclo lower alkyl group, an aryl group, a heteroaromatic ring group selected from a set of groups consisting of an imidazolyl group, an isoxazolyl group, an isoquinolyl group, an isoindolyl group, an indazolyl group, 10 an indolyl group, an indolydinyl group, an isothiazolyl group, an ethylenedioxyphenyl group, an oxazolyl group, a pyridyl group, a pyradinyl group, a pyrimidinyl group, a pyridazinyl group, a pyrazolyl group, a quinoxalinyl group, quinolyl group, a dihydroisoindolyl group, a 15 thionaphthenyl dihydroindolyl group, а group, а naphthyridinyl group, a phenazinyl group, a benzoimidazolyl group, a benzoxazolyl group, a benzothiazolyl group, a benzotriazolyl group, a benzofuranyl group, a thiazolyl group, a thiadiazolyl group, a thienyl group, a pyrrolyl 20 group, a furyl group, a furazanyl group, a triazolyl group, a benzodioxanyl group and a methylenedioxyphenyl group, or an aliphatic heterocyclic group(s) selected from a set of consisting of an isoxazolinyl group, groups an isoxazolidinyl tetrahydropyridyl group, a group, an imidazolidinyl tetrahydrofuranyl group, а group, а

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tetrahydropyranyl group, a piperazinyl group, a piperidinyl group, a pyrrolidinyl group, pyrrolinyl group, a morpholino tetrahydroquinolinyl group, a group and а tetrahydroisoquinolinyl group, which may be substituted with one to three of the same or different substituent(s), selected from a set of groups consisting of a lower alkyl group, a spiro cyclo lower alkyl group which may be substituted, a hydroxyl group which may be protected, a cyano group, halogen atoms, a nitro group, a carboxyl group which may be protected, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, hydroxy lower alkyl group which may be protected, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group which may be protected, a carbamoyl lower alkyl group, a lower alkoxy group, a lower alkoxycarbonyl alkoxycarbonylamino lower group, lower group, a alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, a lower alkylcarbamoyloxy group, dilower alkylcarbamoyloxy group, an amino group which may be protected, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group which may be substituted, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkyl group, lower alkanoylamino group, an aroylamino group, lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl lower alkylsulfonyl lower group, group, alkylsulfonylamino group, a hydroxyimino group which may be

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protected, and a lower alkoxyimino group, or a set of substituent(s) represented by а formula  $Y_{10} - W_{10} - Y_{20} - R_{p0}$ (wherein:  $R_{p0}$  is a hydrogen atom, or a lower alkyl group, a lower alkenyl group, or a lower alkynyl group, which may be substituted with one to three of said substituent(s), or a cyclo lower alkyl group, an aryl group, a heteroaromatic ring group selected from a set of groups consisting of an imidazolyl group, an isoxazolyl group, an isoquinolyl group, an isoindolyl group, an indazolyl group, an indolyl group, indolydinyl group, an isothiazolyl an group, an ethylenedioxyphenyl group, an oxazolyl group, a pyridyl pyrimidinyl pyradinyl group, а group, group, pyridazinyl group, a pyrazolyl group, a quinoxalinyl group, dihydroisoindolyl quinolyl group, а group, а dihydroindolyl а thionaphthenyl group, group, naphthyridinyl group, a phenazinyl group, a benzoimidazolyl group, a benzoxazolyl group, a benzothiazolyl group, a benzotriazolyl group, a benzofuranyl group, a thiazolyl group, a thiadiazolyl group, a thienyl group, a pyrrolyl group, a furyl group, a furazanyl group, a triazolyl group, a benzodioxanyl group and a methylenedioxyphenyl group, or an aliphatic heterocyclic group(s) selected from a set of consisting groups ofan isoxazolinyl group, an isoxazolidinyl tetrahydropyridyl group, а group, an imidazolidinyl tetrahydrofuranyl group, group, а а tetrahydropyranyl group, a piperazinyl group, a piperidinyl group, a pyrrolidinyl group, pyrrolinyl group, a morpholino group, tetrahydroquinolinyl group and a tetrahydroisoquinolinyl group, which may be substituted

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with one to three of said substituent(s), or, furthermore, may have on it a bicyclic or tricyclic fused ring which contains a partial structure selected from a set of groups comprising:

 $\bigcirc$  ,  $\bigcirc$ 

;  $W_{10}$  is a single bond, an oxygen atom, a sulfur atom, SO,  $SO_2$ ,  $NR_{q0}$ ,  $SO_2NR_{q0}$ ,  $N(R_{q0})SO_2NR_{r0}$ ,  $N(R_{q0})SO_2$ ,  $CH(OR_{q0})$ ,  $CONR_{q0}$ ,  $N(R_{q0})CO$ ,  $N(R_{q0})CONR_{q0}$ ,  $N(R_{q0})COO$ ,  $N(R_{\alpha 0})CSO$ ,  $N(R_{q0})COS$ ,  $C(R_{00})=CR_{0}$ ,  $C\equiv C$ , CO, CS, OC(O),  $OC(O)NR_{00}$ ,  $OC(S)NR_{00}$ , SC(O),  $SC(0)NR_{\alpha 0}$  and C(0)O (wherein:  $R_{\alpha 0}$  and  $R_{r0}$  are each either a hydrogen atom or a lower alkyl group, an aryl group or an aralkyl group which may be substituted with one to three of substitutent(s) selected from a set of groups consisting of a lower alkyl group, a cyclo lower alkyl group, a hydroxyl group which may be protected, a cyano group, halogen atoms, a nitro group, a carboxyl group which may be protected, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group which may be protected, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group which may be protected, a carbamoyl lower alkyl group, lower alkoxy alkoxycarbonyl lower group, lower group, alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a di-lower a carbamoyloxy group, alkylcarbamoyl group, alkylcarbamoyloxy group, di-lower alkylcarbamoyloxy group, an amino group which may be protected, a lower alkylamino tri-lower group, a di-lower alkylamino group, a

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alkylammonio group, an amino lower alkyl group which may be protected, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio alkyl group, a lower alkanoylamino group, lower aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl group, a lower alkylsulfonyl group, a lower alkylsulfonylamino group, a hydroxyimino group which may be protected, and a lower alkoxyimino group, or from said substituent(s));  $Y_{10}$  and  $Y_{20}$  are each, the same or different, a single bond or a straight-chain or branched lower alkylene group which may have a bicyclic or tricyclic fused ring);  $R_{40}$  and  $R_{50}$  are each, the same or different, either a hydrogen atom, halogen atoms, a hydroxyl which may be protected, an amino group which may be protected, or a lower alkyl group, an aryl group or an aralkyl group which may be substituted with one to three of the same or different substituents selected from a set of the groups consisting of: the one represented by the formula  $Y_{30}\text{-}W_{20}\text{-}$  $Y_{40}-R_{s0}$  (wherein:  $R_{s0}$ ,  $W_{20}$ ,  $Y_{30}$  and  $Y_{40}$  have the same meanings as stated above), the one which may be selected from a set of groups consisting of a lower alkyl group, a cyano group, a nitro group, a carboxyl group which may be protected, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group which may be protected, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group which may be protected, a carbamoyl lower alkyl group, a lower alkoxy group, alkoxycarbonyl lower group, а lower alkoxycarbonylamino lower alkoxycarbonylamino group, a

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lower alkyl group, a lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, alkylcarbamoyloxy group, di-lower alkylcarbamoyloxy group, an amino group which may be protected, a lower alkylamino group, а di-lower alkylamino group, а tri-lower alkylammonio group, an amino lower alkyl group which may be protected, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkanoylamino group, group, lower alkyl a aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl group, a lower alkylsulfonyl group, a lower alkylsulfonylamino group, a hydroxyimino group which may be protected, and a lower alkoxyimino group, and the one represented by the formula  $Y_{30}-W_{20}-Y_{40}-R_{s0}$  (wherein:  $R_{s0}$ ,  $W_{20}$ ,  $Y_{30}$  and  $Y_{40}$  have the same meanings as stated above); the Formula == is a single bond or a double bond, or is made to react with a compound represented by Formula (IV)

Formula (IV)

$$\begin{array}{ccc}
 & O \\
 & O \\
 & Ar_0
\end{array}$$
 (IV)

wherein: Ar<sub>0</sub> is a nitrogen-containing heteroaromatic ring group selected from a set of groups consisting of a pyridyl group, a pyrimidinyl group, a pyradinyl group, a pyridazinyl group, a thiazolyl group, an isothiazolyl group, an oxazolyl group, an isoxazolyl group, a pyrazolyl group, a pyrrolyl group, an imidazolyl group, an indolyl group, an isoindolyl group, a quinolyl group, an isoquinolyl group, a benzothiazolyl group, a benzoxazolyl group, which:

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1) may be substituted with one to three of the same or different substituent(s) selected from a set of groups a lower alkyl group, a hydroxyl group which may be protected, a cyano group, halogen atoms, a nitro group, a carboxyl group which may be protected, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group which may be protected, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group which may be protected, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl alkoxycarbonylamino lower group, lower group, alkoxycarbonylamino lower alkyl a lower group, alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, a lower alkylcarbamoyloxy group, dilower alkylcarbamoyloxy group, an amino group which may be protected, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl group, a lower alkylsulfonyl group, a lower alkylsulfonylamino group, a hydroxyimino group which may be protected and a lower alkoxyimino group, or a substituent selected from groups represented by a formula  $Y_{10}-W_{10}-Y_{20}-R_{p0}$  (wherein:  $R_{p0}$ ,  $W_{10}$ ,  $Y_{10}$  and  $Y_{20}$  have the same meanings as stated above); or

2) may have a five- to seven-membered ring selected from a

set of groups consisting of

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$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

,which may be protected, and together with the carbon atom on the ring on which the substituent selected from a set of groups consisting of a lower alkyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group which may be protected, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group which may be protected, a carbamoyl lower alkyl group, a lower a lower alkoxycarbonyl group, alkoxy group, alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, а carbamoyloxy group, alkylcarbamoyloxy group, a di-lower alkylcarbamoyloxy group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group which may be protected, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkylfulfinyl group, a lower alkylsulfonyl group, a lower alkylsulfonylamino group and a alkanoylamidino lower alkyl group (hereinafter indecated as ring-substituent(s) which may be protected) stands, a carbon atom next to said carbon atom and a carbon atom, an oxygen atom and/or a nitrogen atom on said ringsubstituent(s) which may be protected, all taken together;

or

3) may have a five- to seven-membered ring selected from a set of groups consisting of

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$
and 
$$\bigcirc$$

5 ,which may be protected, and together with the carbon atom on the ring on which a substituent selected from groups represented by the formula of  $Y_{10}-W_{10}-Y_{20}-R_{p0}$  (wherein:  $Y_{10},W_{10},Y_{20}$  and  $R_{p0}$  have the same meanings as stated above) stands, a carbon atom next to said carbon atom and a carbon atom, an oxygen atom and/or a nitrogen atom on said ring-substituent(s) which may be protected, all taken together, to give a compound of Formula (II)

Formula (II)

$$\begin{array}{c|c} R_{10} & R_{20} \\ X = Z & \\ R_{30} & HN & \\ R_{40} & R_{50} & \\ \end{array}$$

15 , wherein  $Ar_0$ , X, Y, Z,  $R_{10}$ ,  $R_{20}$ ,  $R_{30}$ ,  $R_{40}$  and the Formula have the same meanings as stated above, and then, if necessary, removing the protecting group(s), to give a compound of Formula (I) according to claim 1 and pharmaceutically acceptable salts thereof:

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, wherein: Ar is a nitrogen-containing heteroaromatic ring group selected from the groups consisting of a pyridyl group, a pyridinyl group, a pyradinyl group, a pyridazinyl group, a thiazolyl group, an isothiazolyl group, an oxazolyl group, an isoxazolyl group, a pyrazolyl group, a pyrrolyl group, an imidazolyl group, an indolyl group, an isoindolyl group, a quinolyl group, an isoquinolyl group, a benzothiazolyl group, and a benzoxazolyl group, and said nitrogen-containing heteroaromatic ring group, which:

1) may be optionaly substituted with one to three of the same or different substituent(s) selected from a set of groups consisting of a lower alkyl group, a hydroxyl group, a cyano group, halogen atoms, a nitro group, a carboxyl group, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, alkylcarbamoyloxy group, di-lower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a

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alkyl group, tri-lower di-lower alkylamino lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl group, a lower alkylsulfonyl group, a lower alkylsulfonylamino group, a hydroxyimino group and a lower alkoxyimino group, or groups represented by a formula  $Y_1-W_1-Y_2-R_p$  (wherein:  $R_p$  is any of a hydrogen atom, or a lower alkyl group, a lower alkenyl group or a lower alkynyl group which may be substituted with one to three of said substituents, or a cyclo lower alkyl group, an aryl group, or a heteroaromatic ring group selected from a set of groups consisting of an imidazolyl group, an isoxazolyl group, an isoquinolyl group, an isoindolyl group, an indazolyl group, an indolyl group, an indolizinyl group, an isothiazolyl group, an ethylenedioxyphenyl group, an oxazolyl group, a pyridyl group, a pyradinyl group, a pyrimidinyl group, a pyridazinyl group, a pyrazolyl group, a quinoxalinyl group, a quinolyl group, a dihydroisoindolyl group, a dihydroindolyl group, a thionaphthenyl group, a naphthyridinyl group, a phenazinyl group, a benzoimidazolyl group, a benzoxazolyl group, a benzothiazolyl group, a benzotriazolyl group, a benzofuranyl group, a thiazolyl group, a thiadiazolyl group, a thienyl group, a pyrrolyl group, a furyl group, a furazanyl group, a triazolyl group, a benzodioxanyl group and a methylenedioxyphenyl group, or an aliphatic heterocyclic group(s) selected from a set of groups consisting of an isoxazolinyl group, an tetrahydropyridyl isoxazolidinyl group, an group, a imidazolidinyl group, a tetrahydrofuranyl group, а

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tetrahydropyranyl group, a piperazinyl group, a piperidinyl group, a pyrrolidinyl group, pyrrolinyl group, a morpholino group, a tetrahydroquinolinyl group and a tetrahydroisoquinolinyl group, each of which(heteroaromatic ring groups and aliphatic heterocyclic groups) may be substituted with one to three of the same or different said substituent(s), which are same or different, or furthermore, may have (on it) a bicyclic or tricyclic fused ring of a partial structure selected from a set of groups consisting of:

$$\bigcirc$$
 ,  $\bigcirc$   $\bigcirc$ 

;  $W_1$  is a single bond, an oxygen atom, a sulfur atom, SO,  $SO_2NR_q$ ,  $N(R_q)SO_2NR_r$ ,  $N(R_q)SO_2$ ,  $CH(OR_{\alpha})$ ,  $N(R_g)CO$ ,  $N(R_g)CONR_r$ ,  $N(R_g)COO$ ,  $N(R_g)CSO$ ,  $N(R_g)COS$ ,  $C(R_g)=CR_r$ ,  $C \equiv C$ , CO, CS, OC(O),  $OC(O)NR_{\sigma}$ ,  $OC(S)NR_{\sigma}$ , SC(O),  $SC(O)NR_{\sigma}$  and C(0)O (wherein:  $R_q$  and  $R_r$  are each, a hydrogen atom or a lower alkyl group, an aryl group or an aralkyl group, which may be substituted with one to three substituent(s) selected from a set of groups consisting of a lower alkyl group, a cyclo lower alkyl group, a hydroxyl group, a cyano group, halogen atoms, a nitro group, a carboxyl group, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a di-lower

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alkylcarbamoyl group, a carbamoyloxy group, alkylcarbamoyloxy group, di-lower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a alkylamino lower alkyl group, а tri-lower di-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl group, a lower alkylsulfonyl group, a lower alkylsulfonylamino group, a hydroxyimino group and a lower alkoxyimino group, or a lower alkyl group, an aryl group or an aralkyl group which may be substituted with one to three of said substituent(s).);  $Y_1$  and  $Y_2$  are each, the same or different, a single bond or a straightchain or branched lower alkylene group which may have a said bicyclic or tricyclic fused ring);

2) may have a five- to seven-membered fused ring selected from a set of groups consisting of:

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

20 which may be together with the carbon atom of said nitrogen-containing heteroaromatic cyclic group, on which the substituent, which is selected from a set of groups consisting of consisting of a lower alkyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl

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or,

group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, alkoxycarbonylamino group, a lower lower lower alkoxycarbonylamino alkyl group, а lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, a lower alkylcarbamoyloxy group, dilower alkylcarbamoyloxy group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkylsulfinyl group, a lower alkylsulfonyl group, a lower alkylsulfonylamino group, and a lower alkanoylamidino lower alkyl group (hereinafter indicated as ring-substituent) stands, the carbon atom next to said carbon atom, and a carbon atom, an oxygen atom and/or a nitrogen atom on said ring-substituent;

3) may form a five- to seven-membered ring selected from a 20 set of groups consisting of:

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc_{N}, \bigcirc \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc_{N}, \bigcirc \bigcirc$$
and 
$$\bigcirc$$

which may be formed from the carbon atom on which a substituent represented by the formula  $Y_1$ - $W_1$ - $Y_2$ - $R_p$  (wherein:  $Y_1$ ,  $W_1$ ,  $Y_2$  and  $R_p$  have the same meanings as stated above) stands, the carbon atom next to said carbon atom, and a

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carbon atom, an oxygen atom and/or a nitrogen atom on said ring-substituent; X and Z are each, the same or different, a carbon atom or a nitrogen atom, or being taken together with  $R_1$  or  $R_2$  and/or  $R_3$  which may exist on X and Z, forms a CH or a nitrogen atom; Y is CO, SO or  $SO_2$ ;

R<sub>1</sub> is any of a hydrogen atom or a substituent represented by a formula  $Y_3-W_2-Y_4-R_s$  (wherein:  $R_s$  is any of a hydrogen atom or a lower alkyl group, a lower alkenyl group, a lower alkynyl group, a cyclo lower alkyl group, an aryl group, and a heteroaromatic ring group which is selected from a set of groups consisting of an imidazolyl group, isoxazolyl group, an isoquinolyl group, an isoindolyl group, an indazolyl group, an indolyl group, an indolizinyl group, an isothiazolyl group, an ethylenedioxyphenyl group, an oxazolyl group, a pyridyl group, a pyradinyl group, a pyrimidinyl group, a pyridazinyl group, a pyrazolyl group, a quinoxalinyl group, a quinolyl group, a dihydroisoindolyl group, a dihydroindolyl group, a thionaphthenyl group, a naphthyridinyl group, a phenazinyl group, a benzoimidazolyl group, a benzoxazolyl group, a benzothiazolyl group, a benzotriazolyl group, a benzofuranyl group, a thiazolyl group, a thiadiazolyl group, a thienyl group, a pyrrolyl group, a furyl group, a furazanyl group, a triazolyl group, a benzodioxanyl group and a methylenedioxyphenyl group, or an aliphatic heterocyclic group selected from a set of consisting isoxazolinyl groups of an group, an isoxazolidinyl group, a tetrahydropyridyl group, an imidazolidinyl tetrahydrofuranyl group, a piperazinyl group, a piperidinyl group, a pyrrolidinyl

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group, morpholino group, group, pyrrolinyl a tetrahydroquinolinyl group and a tetrahydroisoquinolinyl group, all of which may be substituted with one to three of said substituent(s);  $W_2$  is a single bond, an oxygen atom, a sulfur atom, SO, SO<sub>2</sub>, NR<sub>t</sub>, SO<sub>2</sub>NR<sub>t</sub>, N(R<sub>t</sub>)SO<sub>2</sub>NR<sub>u</sub>, N(R<sub>t</sub>)SO<sub>2</sub>,  $CH(OR_t)$ ,  $CONR_t$ ,  $N(R_t)CO$ ,  $N(R_t)CONR_u$ ,  $N(R_t)COO$ ,  $N(R_t)CSO$ ,  $N(R_t)COS$ ,  $C(R_v)=CR_r$ ,  $C\equiv C$ , CO, CS, OC(O),  $OC(O)NR_t$ ,  $OC(S)NR_t$ , SC(0),  $SC(0)NR_t$  and C(0)O (wherein:  $R_t$  and  $R_u$  are each a hydrogen atom or a substituent selected from a set of groups consisting of a lower alkyl group, a hydroxyl group, a cyano group, halogen atoms, a nitro group, a carboxyl group, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, alkylcarbamoyloxy group, di-lower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl group, a lower alkylsulfonyl group, a lower alkylsulfonylamino group, a hydroxyimino group and a lower alkoxyimino group, or a lower alkyl group,

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an aryl group or an aralkyl group which may be substituted with one to three of said substituent(s));  $Y_3$  and  $Y_4$  are each, the same or different, a single bond or a straightchain or branched lower alkylene group), or  $R_1$  is a lower alkyl group which may be substituted with one to three of the same or different substituent(s) which is selected from a set of groups consisting of a lower alkyl group, a hydroxyl group, a cyano group, halogen atoms, a nitro group, a carboxyl group, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl alkoxycarbonylamino а lower group, lower group, alkoxycarbonylamino lower alkyl group, lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, a lower alkylcarbamoyloxy group, dilower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl alkylsulfonyl group, lower group, lower alkylsulfonylamino group, a hydroxyimino group and a lower alkoxyimino group, orа substituent orsubstituents selected from groups represented by the formula  $Y_3-W_2-Y_4-R_s$ (wherein:  $R_s$ ,  $W_2$ ,  $Y_3$  and  $Y_4$  have the same meanings as stated

above), or  $R_1$  forms a nitrogen atom together with X.);  $R_2$  and  $R_3$  are each independently, the same or different, a hydrogen atom, a hydroxy group, a lower alkyl group, a lower alkoxy group, or a substituent represented by the formula  $Y_3$ - $W_2$ - $Y_4$ - $R_s$  ( wherein:  $R_s$ ,  $W_2$ ,  $Y_3$  and  $Y_4$  have the same meanings as stated above), or either one of  $R_2$  or  $R_3$  forms, together with  $R_1$  and X, a saturated five- to eightmembered cyclic group selected from sets of groups of (a) and (b):

(a) 
$$\bigcirc$$
,  $\bigcirc$  and  $\bigcirc$ 

and

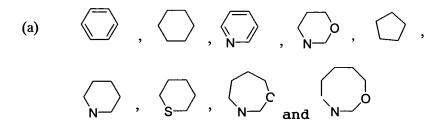
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(b) 
$$\stackrel{\mathsf{N}}{\bigcirc}$$
 ,  $\stackrel{\mathsf{S}}{\bigcirc}$  ,  $\stackrel{\mathsf{N}}{\bigcirc}$  and

and the another one of  $R_2$  or  $R_3$  binds to a carbon atom or a nitrogen atom on the ring, or to a carbon atom, an oxygen atom and/or nitrogen atom on said ring-substituent to form a five- to seven-membered ring, or  $R_2$  and  $R_3$  are combined to form a spiro cyclo lower alkyl group, or are together furthermore with Z to which they bind to form an oxo (keto, or carbonyl) group, or they ( $R_2$  and  $R_3$ ) form, together with Z,  $R_1$  and X, on which they stand, a saturated or an unsaturated five- to eight membered cyclic group which may be selected from sets of groups of (a) and (b):



(b) 
$$\stackrel{N}{\bigcirc}$$
 ,  $\stackrel{N}{\bigcirc}$  ,  $\stackrel{S}{\bigcirc}$  ,  $\stackrel{S}{\bigcirc}$  ,  $\stackrel{N}{\bigcirc}$ 

,which may contain one or more kinds of hetero atom(s) selected from a group of a nitrogen atom, an oxygen atom and a sulfur atom, or which may be fused with any of a cyclo lower alkyl group, an aryl group, a heteroaromatic ring group selected from a set of groups consisting of an imidazolyl group, an isoxazolyl group, an isoquinolyl group, 10 an isoindolyl group, an indazolyl group, an indolyl group, isothiazolyl indolydinyl group, an group, an ethylenedioxyphenyl group, an oxazolyl group, a pyridyl pyradinyl group, a pyrimidinyl group, group, pyridazinyl group, a pyrazolyl group, a quinoxalinyl group, 15 a quinolyl group, а dihydroisoindolyl group, thionaphthenyl dihydroindolyl group, а group, naphthyridinyl group, a phenazinyl group, a benzoimidazolyl group, a benzoxazolyl group, a benzothiazolyl group, a benzotriazolyl group, a benzofuranyl group, a thiazolyl 20 group, a thiadiazolyl group, a thienyl group, a pyrrolyl group, a furyl group, a furazanyl group, a triazolyl group,

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a benzodioxanyl group and a methylenedioxyphenyl group, or an aliphatic heterocyclic group(s) selected from a set of groups consisting of an isoxazolinyl group, an isoxazolidinyl group, а tetrahydropyridyl group, an imidazolidinyl group, а tetrahydrofuranyl group, a tetrahydropyranyl group, a piperazinyl group, a piperidinyl group, a pyrrolidinyl group, pyrrolinyl group, a morpholino tetrahydroquinolinyl group and group, tetrahydroisoquinolinyl group, which may be substituted with one to three of the same or different substituent(s) selected from a set of groups consisting of a lower alkyl a spiro cyclo lower alkyl group which may be substituted, a hydroxyl group, a cyano group, halogen atoms, a nitro group, a carboxyl group, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, a lower alkylcarbamoyloxy group, dilower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl

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lower alkylsulfonyl group, lower group, alkylsulfonylamino group, a hydroxyimino group and a lower group, and a substituent or substituents alkoxyimino selected from groups represented by the formula  $Y_1-W_1-Y_2-R_p$ (wherein:  $R_p$ ,  $W_1$ ,  $Y_1$  and  $Y_2$  have the same meanings as stated above);  $R_4$  and  $R_5$  are each, the same or different, a hydrogen atom, halogen atoms, a hydroxy group, an amino group, or a substituent represented by the formula  $Y_3-W_2-Y_4 R_{s}$  (wherein:  $R_{s}\text{, }W_{2}\text{, }Y_{3}$  and  $Y_{4}$  have the same meanings as stated above), or any of a lower alkyl group, an aryl group or an aralkyl group which may be substituted with one to three of the same or different substituent(s) selected from both a set of groups consisting of a lower alkyl group, a cyano group, a nitro group, a carboxyl group, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, lower alkoxycarbonyl group, lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a di-lower a carbamoyloxy group, alkylcarbamoyl group, а alkylcarbamoyloxy group, di-lower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkanoylamidino lower alkyl

group, a lower alkylsulfinyl group, a lower alkylsulfonyl group, a lower alkylsulfonylamino group, a hydroxyimino group and a lower alkoxyimino group, and groups represented by the formula  $Y_3$ - $W_2$ - $Y_4$ - $R_s$  (wherein:  $R_s$ ,  $W_2$ ,  $Y_3$  and  $Y_4$  have the same meanings as stated above); and the formula  $\stackrel{\dots}{=}$  represents either a single bond or a double bond.

8. A method of preparing a compound of Formula (I) or pharmaceutically acceptable salts thereof:

10 Formula (I)

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, wherein: Ar, X, Y, Z,  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$  and the formula have the same meanings as stated above,

characterized by reacting a compound represented by Formula (V):

Formula (V)

$$R_{10}$$
  $R_{20}$   $R_{30}$   $R_{30}$   $R_{40}$   $R_{50}$   $R_{50}$ 

, wherein: X, Y, Z,  $R_{10}$ ,  $R_{20}$ ,  $R_{30}$ ,  $R_{40}$ ,  $R_{50}$  and the formula have the same meanings as stated above,

20 with a compound represented with Formula (VI):

Formula (VI)

 $H_2N-Ar_0$  (VI)

, wherein:  $\text{Ar}_0$  have the same meanings as stated above, to give a compound of Formula (II):

Formula (II)

$$\begin{array}{c|c} R_{10} & R_{20} \\ X = Z & R_{30} \\ Y & & & \\ R_{40} & R_{50} & \\ \end{array} + N \begin{array}{c} H \\ O \\ O \end{array} Ar_0 \quad (II)$$

- 5 , wherein: Ar<sub>0</sub>, X, Y, Z, R<sub>10</sub>, R<sub>20</sub>, R<sub>30</sub>, R<sub>40</sub>, R<sub>50</sub> and the formula  $\longrightarrow$  have the same meanings as stated above , and then, by removing, if necessary, the protective group(s).
- 9. A method for preparing a compound of Formula (I'') Formula (I'')

, wherein:  $T_1$  is any of a single bond or a straight-chain or branched lower alkylene, an aryl group, a heteroromatic ring group, an aliphatic heterocyclic group, and an Ar which has a convertible functional group(s)including or an aralkyl group; Q is  $W_1$ - $Y_2$ - $R_p$  (wherein:  $W_1$ ,  $Y_2$  and  $R_p$  have the same meanings as stated above), X, Y, Z,  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$  and the formula — have the same meanings as stated above, 20 and salts thereof characterized by first making a compound

Formula (VII)

of a formula (VII):

h:

, wherein: L is a reactive substituent which may be protected, and may have a functional group which can be converted into other functional group,  $T_{10}$  is any of a single bond or , if appropriate, a straight-chain or branched lower alkylene group which may have a protected substituent(s), an aryl group, a heteroaromatic ring group, an aliphatic heterocyclic group, and an  $Ar_0$  which has a convertible functional group including an aralkyl group,

10 reacting with a compound of a formula (VIII):

Formula (VIII)

$$H_2 N-NH-R_{60}$$
 (VIII)

, wherein:  $R_{60}$  is a hydrogen atom or a protective group for an amino group,

15 to obtain a compound of a formula (IX):

Formula (IX)

$$H_2N$$
 $N$ 
 $T_{10}$ 
 $N$ 
 $N$ 
 $N$ 

- , wherein:  $T_{10},\ R_{60}$  and L have the same meanings as stated above,
- 20 and then by making said compound react with a compound of a Formula (III):

Formula (III)

$$\begin{array}{c} R_{10} \quad R_{20} \\ X = Z \quad R_{30} \\ \hline \\ R_{40} \quad R_{50} \end{array} \qquad \text{(III)}$$

, wherein: X, Y, Z,  $R_{10}$ ,  $R_{20}$ ,  $R_{30}$ ,  $R_{40}$ ,  $R_{50}$  and the formula — have the same meanings as stated above] and one of reactive derivatives of formate ester, if necessary, in the presence of a base ro give a compound of a formula (X):

Formula (X)

- , wherein: X, Y, Z,  $T_{10}$ ,  $R_{10}$ ,  $R_{20}$ ,  $R_{30}$ ,  $R_{40}$ ,  $R_{50}$ ,  $R_{60}$  and the formula = have the same meanings as stated above,
- and by subjecting the compound obtained to transformation reaction of the substituent L and/or removal of the protective group.
- 10. A Cdk4 and/or Cdk6 inhibitory drug containing as the 15 active ingredient a compound of Formula (I) and pharmaceutically acceptable salts thereof:

Formula (I)

$$\begin{array}{c}
R_1 \\
X = Z \\
R_3 \\
R_4
\end{array}$$

$$\begin{array}{c}
R_2 \\
R_3 \\
R_5
\end{array}$$

$$\begin{array}{c}
H \\
N \\
Ar
\end{array}$$

$$\begin{array}{c}
H \\
N \\
Ar
\end{array}$$

$$\begin{array}{c}
H \\
N \\
Ar
\end{array}$$

,wherein: Ar is a nitrogen-containing heteroaromatic ring

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group selected from a set of groups consisting of a pyridyl group, a pyrimidinyl group, a pyradinyl group, a pyridazinyl group, a thiazolyl group, an isothiazolyl group, an oxazolyl group, an isoxazolyl group, a pyrazolyl group, a pyrrolyl group, an imidazolyl group, an indolyl group, an isoindolyl group, a quinolyl group, an isoquinolyl group, a benzothiazolyl group, and a benzoxazolyl group, which:

1) may be substituted with one to three of the same or different substituent(s) selected from a set of groups consisting of a lower alkyl group, a hydroxyl group, a cyano group, halogen atoms, a nitro group, a carboxyl group, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, alkylcarbamoyloxy group, di-lower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl group, a lower alkylsulfonyl group, a lower alkylsulfonylamino group, a hydroxyimino group and a lower alkoxyimino group, and groups represented

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by a formula  $Y_1-W_1-Y_2-R_p$  (wherein:  $R_p$  is any of a hydrogen atom, or a lower alkyl group, a lower alkenyl group or a lower alkynyl group which may be substituted with one to three of said substituents, or a cyclo lower alkyl group, an aryl group, a heteroaromatic ring group selected from a set of groups consisting of an imidazolyl group, isoxazolyl group, an isoquinolyl group, an isoindolyl group, an indazolyl group, an indolyl group, an indolizinyl group, an isothiazolyl group, an ethylenedioxyphenyl group, an oxazolyl group, a pyridyl group, a pyradinyl group, a pyrimidinyl group, a pyridazinyl group, a pyrazolyl group, a quinoxalinyl group, a quinolyl group, a dihydroisoindolyl group, a dihydroindolyl group, a thionaphthenyl group, a naphthyridinyl group, a phenazinyl group, a benzoimidazolyl group, a benzoxazolyl group, a benzothiazolyl group, a benzotriazolyl group, a benzofuranyl group, a thiazolyl group, a thiadiazolyl group, a thienyl group, a pyrrolyl group, a furyl group, a furazanyl group, a triazolyl group, a benzodioxanyl group and a methylenedioxyphenyl group, or an aliphatic heterocyclic group(s) selected from a set of isoxazolinyl groups consisting ofan group, an isoxazolidinyl group, а tetrahydropyridyl group, an tetrahydrofuranyl imidazolidinyl group, group, а tetrahydropyranyl group, a piperazinyl group, a piperidinyl group, a pyrrolidinyl group, pyrrolinyl group, a morpholino tetrahydroquinolinyl group group, tetrahydroisoquinolinyl group, each of which cyclic group may be substituted with one to three of said substituents or, furthermore, may have (on it) a bicyclic or tricyclic

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fused ring of a partial structure selected from a set of groups consisting of:

$$\bigcirc$$
 ,  $\stackrel{\mathsf{N}}{\bigcirc}$  and  $\stackrel{\mathsf{O}}{\bigcirc}$ 

;  $W_1$  is a single bond, an oxygen atom, a sulfur atom, SO,  $NR_q$ ,  $SO_2NR_q$ ,  $N(R_q)SO_2NR_r$ ,  $N(R_q)SO_2$ ,  $CH(OR_q)$ ,  $CONR_q$ ,  $N(R_q)CO$ ,  $N(R_q)CONR_r$ ,  $N(R_q)COO$ ,  $N(R_q)CSO$ ,  $N(R_q)COS$ ,  $C(R_q)=CR_r$ ,  $C \equiv C$ , CO, CS, OC(O),  $OC(O)NR_q$ ,  $OC(S)NR_q$ , SC(O),  $SC(O)NR_q$  and C(O)O (wherein:  $R_{\text{q}}$  and  $R_{\text{r}}$  are each a hydrogen atom or a lower alkyl group, an aryl group or an aralkyl group, which may be substituted with one to three substituent(s) selected from a set of groups consisting of a lower alkyl group, a cyclo lower alkyl group, a hydroxyl group, a cyano group, halogen atoms, a nitro group, a carboxyl group, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, alkylcarbamoyloxy group, di-lower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a alkylamino lower alkyl group, а tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkanoylamidino lower alkyl

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group, a lower alkylsulfinyl group, a lower alkylsulfonyl group, a lower alkylsulfonylamino group, a hydroxyimino group and a lower alkoxyimino group, and which may have one to three said substituent or substituents.);  $Y_1$  and  $Y_2$  are each, the same or different, a single bond or a straight-chain or branched lower alkylene group which may have a said bicyclic or tricyclic fused ring);

2) may have a five- to seven-membered fused ring selected from a set of groups consisting of:

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$
and 
$$\bigcirc$$

which may be together with the carbon atom of said nitrogen-containing heteroaromatic ring group, on which the substituent, which is selected from a set of groups consisting of consisting of a lower alkyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, alkoxycarbonylamino group, lower alkoxycarbonylamino alkyl group, lower lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, a lower alkylcarbamoyloxy group, dilower alkylcarbamoyloxy group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkylsulfinyl group, a lower alkylsulfonylamino group, and a lower alkanoylamidino lower alkyl group (hereinafter indicated as ring-substituent) stands, the carbon atom next to said carbon atom, and a carbon atom, an oxygen atom and/or a nitrogen atom on said ring-substituent; or,

3) may form a five- to seven-membered ring selected from a set of groups consisting of:

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$

$$\bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc, \bigcirc$$
and 
$$\bigcirc$$

which may formed from the carbon atom on which a substituent represented by the formula  $Y_1$ - $W_1$ - $Y_2$ - $R_p$  (wherein:  $Y_1$ ,  $W_1$ ,  $Y_2$  and  $R_p$  have the same meanings as stated above) stands, the carbon atom next to said carbon atom, and a carbon atom, an oxygen atom and/or a nitrogen atom on said ring-substituent.

; X and Z are each, the same or different, a carbon atom or 20 a nitrogen atom, or being taken together with  $R_1$  or  $R_2$  and/or  $R_3$  which may exist on X or Z, forms a CH or a nitrogen atom; Y is CO, SO or  $SO_2$ ;  $R_1$  is any of a hydrogen atom or a substituent represented by a formula  $Y_3$ - $W_2$ - $Y_4$ - $R_8$  (wherein:  $R_8$  is a hydrogen atom or a lower alkyl group, a 25 lower alkenyl group, a lower alkynyl group, a cyclo lower

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alkyl group, an aryl group, and a heteroaromatic ring group selected from a set of groups consisting of an imidazolyl isoxazolyl group, an isoquinolyl group, group, an isoindolyl group, an indazolyl group, an indolyl group, indolizinyl isothiazolyl group, an group, an ethylenedioxyphenyl group, an oxazolyl group, a pyridyl group, pyradinyl group, a pyrimidinyl group, pyridazinyl group, a pyrazolyl group, a quinoxalinyl group, quinolyl group, а dihydroisoindolyl group, thionaphthenyl dihydroindolyl group, a group, naphthyridinyl group, a phenazinyl group, a benzoimidazolyl group, a benzoxazolyl group, a benzothiazolyl group, a benzotriazolyl group, a benzofuranyl group, a thiazolyl group, a thiadiazolyl group, a thienyl group, a pyrrolyl group, a furyl group, a furazanyl group, a triazolyl group, a benzodioxanyl group and a methylenedioxyphenyl group, or an aliphatic heterocyclic group (which is) selected from a set of groups consisting of an isoxazolinyl group, an isoxazolidinyl group, а tetrahydropyridyl group, an tetrahydrofuranyl imidazolidinyl group, group, а а piperazinyl group, a piperidinyl group, a pyrrolidinyl pyrrolinyl group, a morpholino group, group, tetrahydroquinolinyl group and a tetrahydroisoquinolinyl group, all of which may be substituted with one to three of said substituent(s);  $W_2$  is a single bond, an oxygen atom, a sulfur atom, SO, SO<sub>2</sub>, NR<sub>t</sub>, SO<sub>2</sub>NR<sub>t</sub>, N(R<sub>t</sub>)SO<sub>2</sub>NR<sub>u</sub>,  $N(R_t)SO_2$  $CH(OR_t)$ ,  $CONR_t$ ,  $N(R_t)CO$ ,  $N(R_t)CONR_u$ ,  $N(R_t)COO$ ,  $N(R_t)CSO$ ,  $N(R_t)COS$ ,  $C(R_v)=CR_r$ ,  $C\equiv C$ , CO, CS, OC(O),  $OC(O)NR_t$ ,  $OC(S)NR_t$ , SC(O),  $SC(O)NR_t$  and C(O)O (wherein:  $R_t$  and  $R_u$  are each a וריים מיינון מוריון מיינון מוריון מיינון היינון (היינון היינון היינון מוריין (היינון היינון היינון

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hydrogen atom or a substituent selected from a set of groups consisting of a lower alkyl group, a hydroxy group, a cyano group, halogen atoms, a nitro group, a carboxyl group, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a di-lower a carbamoyloxy group, alkylcarbamoyl group, alkylcarbamoyloxy group, di-lower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a lower alkyl group, tri-lower di-lower alkylamino а alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl group, a lower alkylsulfonyl group, a lower alkylsulfonylamino group, a hydroxyimino group and a lower alkoxyimino group, or a lower alkyl group, an aryl group or an aralkyl group which may be substituted with one to three of said substituent or substituents); Y3 and  $Y_4$  are each, the same or different, a single bond or a straight-chain or branched lower alkylene group, or  $R_1$  is an lower alkyl group which may be substituted with one to three of the same or different substituent or substituents selected from a set of groups consisting of a lower alkyl group, a hydroxyl group, a cyano group, halogen atoms, a

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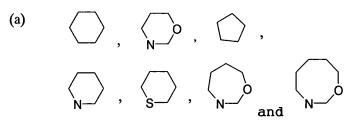
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nitro group, a carboxyl group, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower alkoxycarbonylamino group, a alkoxycarbonylamino lower alkyl group, alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, a lower alkylcarbamoyloxy group, dilower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl lower alkylsulfonyl group, lower group, alkylsulfonylamino group, a hydroxyimino group and a lower alkoxyimino group, and a substituent selected from groups represented by the formula  $Y_3-W_2-Y_4-R_s$  (wherein:  $R_s$ ,  $W_2$ ,  $Y_3$ and  $Y_4$  have the same meanings as stated above), or  $R_1$  forms a nitrogen atom together with X;  $R_2$  and  $R_3$  are each independently, the same or different, a hydrogen atom, a hydroxy group, a lower alkyl group, a lower alkoxy group, or a substituent represented by the formula Y<sub>3</sub>-W<sub>2</sub>-Y<sub>4</sub>-R<sub>s</sub> ( wherein:  $R_s$ ,  $W_2$ ,  $Y_3$  and  $Y_4$  have the same meanings as stated above), or either one of  $R_2$  or  $R_3$  forms, together with  $R_1$  and X, a saturated five- to eight-membered cyclic group selected from sets of groups of (a) and (b):



and

(b) 
$$\stackrel{\mathsf{N}}{\bigcirc}$$
 ,  $\stackrel{\mathsf{S}}{\bigcirc}$  ,  $\stackrel{\mathsf{N}}{\bigcirc}$ 

and the other one of  $R_2$  or  $R_3$  binds to a carbon atom or a nitrogen atom on the ring, or to a carbon atom, an oxygen atom and/or nitrogen atom on said ring-substituent to form a five- to seven-membered ring, or  $R_2$  and  $R_3$  are combined to form a spiro cyclo lower alkyl group, or  $R_2$  and  $R_3$  are conbined furthermore with Z on which they exist to form an oxo (keto, or carbonyl) group, or they ( $R_2$  and  $R_3$ ) form, together with Z,  $R_1$  and X on which they stand, a saturated or an unsaturated five- to eight-membered cyclic group which may be selected from sets of groups of (a) and (b):

(a) 
$$\bigcirc$$
 ,  $\bigcirc$  and  $\bigcirc$ 

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(b) 
$$\stackrel{N}{\bigcirc}$$
 ,  $\stackrel{N}{\bigcirc}$  ,  $\stackrel{S}{\bigcirc}$  ,  $\stackrel{S}{\bigcirc}$  ,  $\stackrel{N}{\bigcirc}$ 

which may contain one or more kinds of hetero atom(s)

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selected from a group of a nitrogen atom, an oxygen atom and a sulfur atom, or which may be fused with any of a cyclo lower alkyl group, an aryl group, a heteroaromatic ring group selected from a set of groups consisting of an imidazolyl group, an isoxazolyl group, an isoquinolyl group, an isoindolyl group, an indazolyl group, an indolyl group, indolydinyl group, an isothiazolyl group, an ethylenedioxyphenyl group, an oxazolyl group, a pyridyl pyradinyl pyrimidinyl group, group, а group, pyridazinyl group, a pyrazolyl group, a quinoxalinyl group, dihydroisoindolyl quinolyl group, а group, dihydroindolyl group, а thionaphthenyl group, а naphthyridinyl group, a phenazinyl group, a benzoimidazolyl group, a benzoxazolyl group, a benzothiazolyl group, a benzotriazolyl group, a benzofuranyl group, a thiazolyl group, a thiadiazolyl group, a thienyl group, a pyrrolyl group, a furyl group, a furazanyl group, a triazolyl group, a benzodioxanyl group and a methylenedioxyphenyl group, or an aliphatic heterocyclic group(s) selected from a set of consisting isoxazolinyl groups of an group, an isoxazolidinyl tetrahydropyridyl group, group, а an tetrahydrofuranyl imidazolidinyl group, group, a tetrahydropyranyl group, a piperazinyl group, a piperidinyl group, a pyrrolidinyl group, pyrrolinyl group, a morpholino group, tetrahydroquinolinyl group and a tetrahydroisoquinolinyl group, which may be substituted with one to three of the same or different substituent(s) selected from a set of groups consisting of a lower alkyl group, a spiro cyclo lower alkyl group which may be

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substituted, a hydroxyl group, a cyano group, halogen atoms, a nitro group, a carboxyl group, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, a lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, a lower alkylcarbamoyloxy group, dilower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl alkylsulfonyl lower group, lower alkylsulfonylamino group, a hydroxyimino group and a lower alkoxyimino group, and a substituent selected from groups represented by the formula  $Y_1-W_1-Y_2-R_p$  (wherein:  $R_p$ ,  $W_1$ ,  $Y_1$ and  $Y_2$  have the same meanings as stated above);  $R_4$  and  $R_5$ are each, the same or different, a hydrogen atom, halogen atoms, a hydroxy group, an amino group, or a substituent represented by the formula  $Y_3-W_2-Y_4-R_s$  (wherein:  $R_s$ ,  $W_2$ ,  $Y_3$ and  $Y_4$  have the same meanings as stated above), or any of a lower alkyl group, an aryl group or an aralkyl group which may be substituted with one to three of the same of different substituent or substituents selected from both a

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set of groups consisting of a lower alkyl group, a cyano group, a nitro group, a carboxyl group, a carbamoyl group, a formyl group, a lower alkanoyl group, a lower alkanoyloxy group, a hydroxy lower alkyl group, a cyano lower alkyl group, a halo lower alkyl group, a carboxy lower alkyl group, a carbamoyl lower alkyl group, lower alkoxy group, a lower alkoxycarbonyl group, lower alkoxycarbonylamino group, a lower alkoxycarbonylamino lower alkyl group, alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyloxy group, a lower alkylcarbamoyloxy group, dilower alkylcarbamoyloxy group, an amino group, a lower alkylamino group, a di-lower alkylamino group, a tri-lower alkylammonio group, an amino lower alkyl group, a lower alkylamino lower alkyl group, a di-lower alkylamino lower alkyl group, a tri-lower alkylammonio lower alkyl group, a lower alkanoylamino group, an aroylamino group, a lower alkanoylamidino lower alkyl group, a lower alkylsulfinyl alkylsulfonyl group, lower lower alkylsulfonylamino group, a hydroxyimino group and a lower alkoxyimino group, or groups represented by the formula Y3- $W_2-Y_4-R_s$  (wherein:  $R_s$ ,  $W_2$ ,  $Y_3$  and  $Y_4$  have the same meanings as stated above); and the formula --- represents either a single bond or a double bond.